PREPARATION OF N-AMINOHETEROCYCLES AND THEIR REACTIONS

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A novel method for the synthesis of N-aminoheterocycles is reported.

1) Various reaction conditions were investigated and optimum condition was established. By this method, indoles such as indole, skatole, 2-methylindole, 3-phenylindole, 3-phenylthioindole, 3-isoprenylindole, and indoleacetonitrile were converted to the corresponding 1-aminoindole derivatives.

2) The reactivity of 1-aminoindoles were widely investigated and it was shown that they reacted with

   i) acyl chlorides and sulfonyl chlorides
   ii) carboxylic acids
   iii) isocyanates
   iv) pyrrylium salts
   v) 1,3-diketones and 1,4-diketones
   vi) aldehydes, ketones, and α,β-unsaturated ketones
   vii) epoxide
   viii) ribose

3) Novel pyridazino(2,3-a)indoles and as-triazino(1,6-a)indoles were prepared and some reactions of them were reported.

4) Our N-amination method was successfully applied for 1,2,3-benzotriazole and benzimidazole. Interestingly, 6-benzylaminopurine and adenine were aminated and their structures were proved to be 9-aminopurine derivatives. Attempts to prepare nucleoside analogues are now in progress.